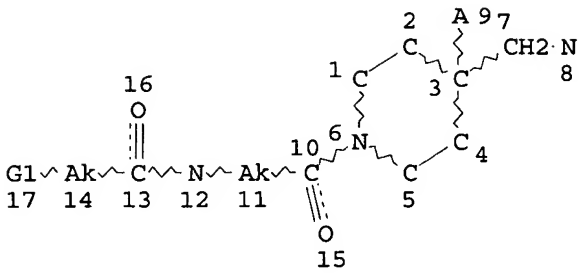
L1 HAS NO ANSWERS
L1 STR



VAR G1=N/HY
NODE ATTRIBUTES:
NSPEC IS R AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1
NUMBER OF NODES IS 17

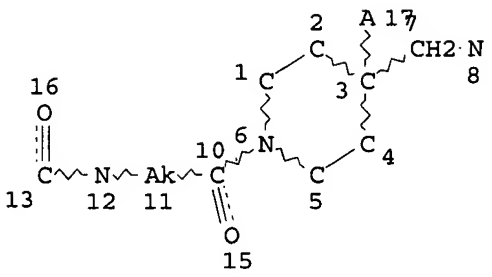
STEREO ATTRIBUTES: NONE

=> s l1 ful
FULL SEARCH INITIATED 13:38:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 17325 TO ITERATE

100.0% PROCESSED 17325 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

L3 0 SEA SSS FUL L1

> d l4
L4 HAS NO ANSWERS
L4 STR



NODE ATTRIBUTES:
NSPEC IS R AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 6
NUMBER OF NODES IS 15

STEREO ATTRIBUTES: NONE

=> s l4 ful
FULL SEARCH INITIATED 13:40:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19833 TO ITERATE

100.0% PROCESSED 19833 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

L6 4 SEA SSS FUL L4

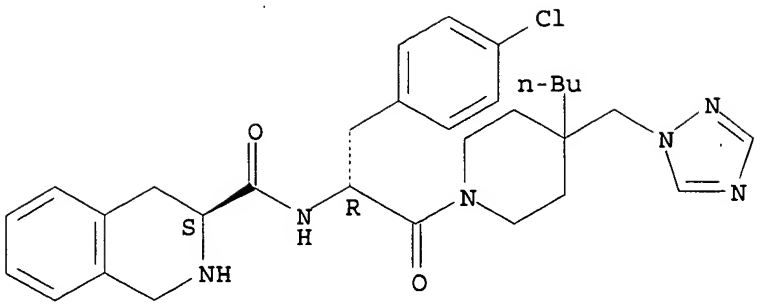
=> d 1-4

L6 ANSWER 1 OF 4 REGISTRY COPYRIGHT 2003 ACS
RN 312637-89-1 REGISTRY
CN 3-Isoquinolinecarboxamide, N-[(1R)-2-[4-butyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-1-[(4-chlorophenyl)methyl]-2-oxoethyl]-1,2,3,4-tetrahydro-, (3S)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C31 H39 Cl N6 O2 . C2 H F3 O2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

CM 1

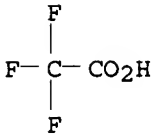
CRN 312637-88-0
CMF C31 H39 Cl N6 O2

Absolute stereochemistry.



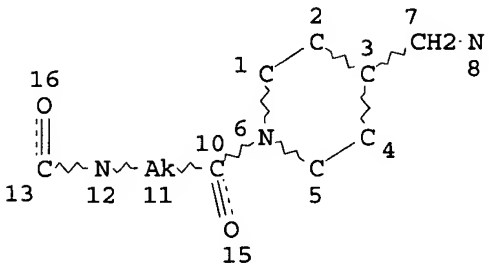
CM 2

CRN 76-05-1
CMF C2 H F3 O2



1 REFERENCES IN FILE CA (1962 TO DATE)
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

=> d l7
L7 HAS NO ANSWERS
L7 STR



NODE ATTRIBUTES:
NSPEC IS R AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 6
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE

=> s l7 ful
FULL SEARCH INITIATED 13:40:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 19833 TO ITERATE

100.0% PROCESSED 19833 ITERATIONS 378 ANSWERS
SEARCH TIME: 00.00.02

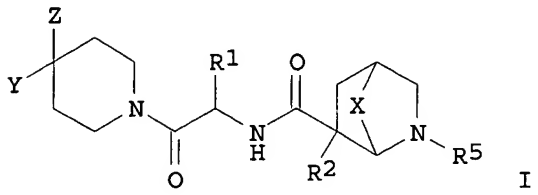
L9 378 SEA SSS FUL L7

=> s l9 not l6
L10 374 L9 NOT L6

```
=> s l10
L11         20 L10

=> d bib abs 1-20

L11  ANSWER 1 OF 20  CAPLUS  COPYRIGHT 2003 ACS
AN   2003:76612  CAPLUS
DN   138:137588
TI   Preparation of bridged piperidine amino acid derivatives as melanocortin
     receptor agonists
IN   Ye, Zhixiong; Barakat, Khaled J.; Guo, Liangqin; Nargund, Ravi P.; Sebhat,
     Iyassu K.
PA   Merck & Co., Inc., USA
SO   PCT Int. Appl., 105 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND  DATE          APPLICATION NO.  DATE
     ---------------     ----  --------      ---------------  --------
PI   WO 2003007949       A1    20030130      WO 2002-US22258  20020712
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS,
             LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,
             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
             PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
PRAI US 2001-306359P   P     20010718
OS   MARPAT 138:137588
GI
```



I

AB Novel bridged piperidine derivs. I [R1 = H or (un)substituted alkyl, (CHR7)0-2cycloalkyl, (CHR7)1-2O(CHR7)aryl, or (CHR7)0-2-(hetero)aryl, where R7 = H or (un)substituted alkyl, (CH2)0-2phenyl, -naphthyl, -heteroalkyl, or -cycloalkyl; or two R7 groups may form a ring; R2 = H, alkyl, (CH2)0-2cycloalkyl or -aryl; X = (CR3R4)1-2, where R3, R4 = H, alkyl, (CH2)0-2cycloalkyl or -aryl, OH, halo, or amino; R5 = H, alkyl, (CH2)0-2-(hetero)aryl, -cycloalkyl, or -heterocyclyl, acyl, CH2C.tplbond.CH, CO2R7, CH2CHF2, CONR72, SO2R7, etc.; Y = H, (un)substituted alk(en)yl, (CH2)0-2cycloalkyl, -Ph,-naphthyl, -heteroaryl, or -heterocyclyl; Z = alkyl or (CH2)0-2 attached to certain rings or functional groups] were prepd. as agonists of human melanocortin receptor(s), in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, and sexual dysfunction. Thus, I (R1 = p-FC6H4CH2, R2 = R5 = H, X = CH2, Y = cyclohexyl, Z = Me3CNHCO) was prepd. as diastereomers

via a coupling reaction. Compds. of the invention were found to bind to MC-4R (IC50 < 2 .mu.M, EC50 < 1 .mu.M).

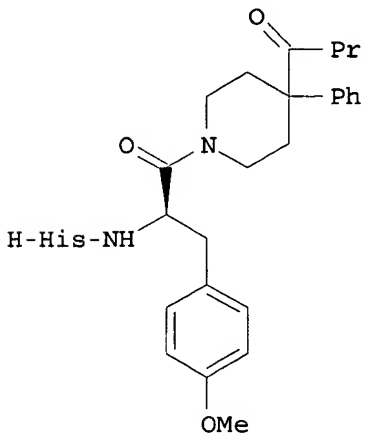
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2002:777885 CAPLUS
DN 137:295252
TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079146	A2	20021010	WO 2002-US6581	20020302
WO 2002079146	A3	20030206		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-273206P P 20010302
US 2001-273291P P 20010302
OS MARPAT 137:295252
GI

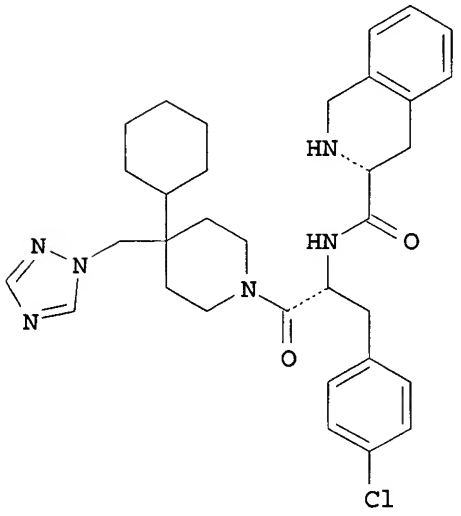


I

AB Compds. W-(CH2)y(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together

with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, or hexahydro-1-azepinyl; R4, R5 = H, (un)substituted alkyl, halo, hydroxy, amino, aryl, cycloalkyl, heterocyclyl, spirocycloalkyl ring; r, s = 0 or 1; x, y = 0-4; W = amino, carbamoyl, amidino, guanidino, heteroaryl, heterocyclyl, etc.] or their pharmaceutically-acceptable salts or prodrugs were prepd. as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.

L11 ANSWER 3 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2002:699493 CAPLUS
DN 137:362928
TI Design and pharmacology of N-[(3R)-1,2,3,4-tetrahydroisoquinolinium-3-ylcarbonyl]-(1R)-1-(4-chlorobenzyl)- 2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)piperidin-1-yl]-2-oxoethylamine (1), a potent, selective, melanocortin subtype-4 receptor agonist
AU Sebhat, Iyassu K.; Martin, William J.; Ye, Zhixiong; Barakat, Khaled; Mosley, Ralph T.; Johnston, David B. R.; Bakshi, Raman; Palucki, Brenda; Weinberg, David H.; MacNeil, Tanya; Kalyani, Rubana N.; Tang, Rui; Stearns, Ralph A.; Miller, Randy R.; Tamvakopoulos, Constantin; Strack, Alison M.; McGowan, Erin; Cashen, Doreen E.; Drisko, Jennifer E.; Hom, Gary J.; Howard, Andrew D.; MacIntyre, D. Euan; van der Ploeg, Lex H. T.; Patchett, Arthur A.; Nargund, Ravi P.
CS Departments of Chemistry, Pharmacology, Obesity Research, and Drug Metabolism, Merck Co. Inc., Rahway, NJ, 07065-0900, USA
SO Journal of Medicinal Chemistry (2002), 45(21), 4589-4593
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



I

AB Synthetic and natural peptides that act as nonselective melanocortin receptor agonists have been found to be anorexigenic and to stimulate erectile activity. We report the design and development of (I), a potent, selective (1184-fold vs. MC3R, 350-fold vs. MC5R), small-mol. agonist of the MC4 receptor. Pharmacol. testing confirms the food intake lowering effects of MC4R agonism and suggests another role for the receptor in the

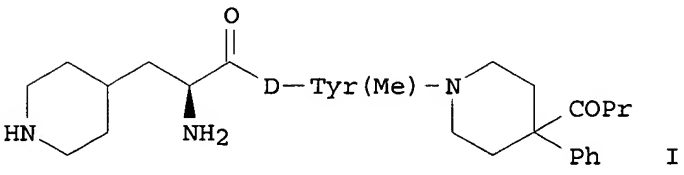
stimulation of erectile activity.
RE.CNT 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2002:695975 CAPLUS
DN 137:232913
TI Preparation of peptides for pharmaceutical use as modulators of melanocortin receptors
IN Yu, Guixue; Macor, John; Herpin, Timothy; Lawrence, R. Michael; Morton, George C.; Ruel, Rejean; Poindexter, Graham S.; Ruediger, Edward H.; Thibault, Carl
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002070511	A1	20020912	WO 2002-US6479	20020302

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-273206P P 20010302
US 2001-273291P P 20010302
OS MARPAT 137:232913
GI



I

AB Compds. W-(CR6R7)yCH(G)(CR4R5)xCO-X(R1)CHR2(CHR3)r(CH2)sCO-E [X = N or CH; R1, R3 = H or alkyl; R2 = H, aryl, cycloalkyl, heteroaryl, heterocyclyl, (un)substituted alkyl or alkenyl; R1 together with R2 or R3 or R2 together with R3 form mono- or bicyclic aryl, cycloalkyl, heteroaryl, or heterocyclyl; E = (un)substituted pyrrolidino, piperidino, hexahydro-1-azepinyl, 1-piperazinyl, cyclopentyl, cyclohexyl, cycloheptyl, amino, (cyclo)alkylamino; R4-R6 = H, (un)substituted alkyl, amino, alkylamino, hydroxy, alkoxy, aryl, cycloalkyl, heteroaryl, or heterocyclyl; or CR4R5 or C6R7 is a spirocycloalkyl ring; r, s = 0 or 1; x = 0-4; y = 0-2; G = alkenyl, arylalkenyl, hydroxy, heteroaryl, cyano, functionalized alkyl or alkenyl, etc.; W = amino, alkylamino, hydroxy, alkoxy, carbamoyl, amidino, cycloalkyl, heteroaryl, heterocyclyl, etc.] were prepd. as modulators of melanocortin receptors, particularly MC-1R and MC-4R. Thus, peptide I was prepd. by a soln.-phase peptide coupling/deprotection scheme.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 5 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2002:695727 CAPLUS
DN 137:226646
TI Co-administration of melanocortin receptor agonist and phosphodiesterase inhibitor for treatment of cyclic-AMP associated disorders
IN Macor, John E.; Carlson, Kenneth E.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 91 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002069905	A2	20020912	WO 2002-US6805	20020304
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2003069169	A1	20030410	US 2002-90258	20020304
PRAI	US 2001-273206P	P	20010302		
	US 2001-273291P	P	20010302		
	US 2001-289719P	P	20010509		

OS MARPAT 137:226646
AB Co-administration of a melanocortin receptor agonist, particularly an MC-1R or MC-4R agonist, and a cAMP phosphodiesterase inhibitor is described for modulating levels of cyclic adenosine 3',5' monophosphate (cAMP) in a mammal. The inventive co-administration is useful in the treatment of diseases affected by activity of cAMP-PDE, including without limitation, inflammatory bowel disease, irritable bowel syndrome, rheumatoid arthritis, osteoarthritis, pancreatitis, psoriasis, migraine, Alzheimer's Disease, Parkinson's disease, transplant rejection, asthma, acute respiratory distress syndrome, chronic obstructive pulmonary disease, stroke, and neurodegeneration of, and consequences of traumatic brain injury.

L11 ANSWER 6 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2002:157581 CAPLUS
DN 136:216648
TI Preparation of substituted piperidines as melanocortin receptor agonists
IN Bakshi, Raman K.; Barakat, Khaled J.; Lai, Yingjie; Nargund, Ravi P.; Palucki, Brenda L.; Park, Min K.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002015909	A1	20020228	WO 2001-US25757	20010817
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT,				

RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	AU 2001088285	A5	20020304	AU 2001-88285	20010817
PRAI	US 2000-227180P	P	20000823		
	WO 2001-US25757	W	20010817		

OS MARPAT 136:216648
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; X = C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl, etc.; R1 = H, C1-8 alkyl, alkylenecycloalkyl, alkylenearyl, alkyleneheteroaryl; Q = amino-tetrahydronaphthyl, amino-benzocycloheptyl, methylamino-tetrahydronaphthyl, aminoindanyl, amino-benzothiopyranyl, amino-1,4-dihydro-1,4-methanonaphthyl, etc.; n = 0, 1, 2], stereoisomers, and pharmaceutically acceptable salts are prepd. as agonists of the human melanocortin receptors and, in particular, as selective agonists of the human melanocortin-4 receptor (MC-4R). Title compds. I are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Pharmaceutical compn. including title compds. I and second active ingredient are claimed. Thus, the title compd. II was prepd. from 4-F-D-Phe-4-cyclohexyl-piperidine-4-carboxylic acid Et ester HCl salt and cis-1,2,3,4-tetrahydro-1-tert-butoxycarbonyl-naphthalene-2-carboxylic acid, which was prepd. from 1,2-dihydroaphthalene, ClSO2NCO.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2001:923766 CAPLUS
DN 136:54019
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 120 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001096304	A1	20011220	WO 2001-GB2572	20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2000076971 A2 20001221 WO 2000-GB2302 20000613
WO 2000076971 A3 20010802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
EP 1289953 A1 20030312 EP 2001-938403 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2002151724 A1 20021017 US 2002-30186 20020204
PRAI WO 2000-GB2302 W 20000613
GB 2000-30306 A 20001213
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2001-GB2572 W 20010612
OS MARPAT 136:54019
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 3-(Rq-CH2)-1-pyrrolidinylcarbonyl or 4-(Rq-CH2)-1-piperidinylcarbonyl, where Rq is an amino group] or their physiol.-tolerable salts were prepd. for use as serine protease and factor Xa inhibitors in the treatment of cardiovascular disorders. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-[(4-methoxybenzoyl-D-phenylglycinyl)]-4-[(isopropylamino)methyl]piperidine hydrochloride was prepd. in the first of 28 examples.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2001:713326 CAPLUS
DN 135:272990
TI Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists
IN Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ye, Zhixiong
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001070708	A1	20010927	WO 2001-US8935	20010320

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

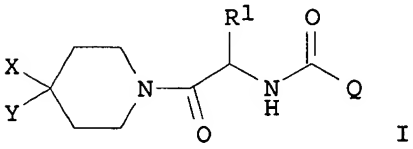
US	2002019523	A1	20020214	US 2001-812965	20010320
US	6458790	B2	20021001		
EP	1268449	A1	20030102	EP 2001-922501	20010320

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI	US 2000-191442P	P	20000323
	US 2000-242265P	P	20001020
	WO 2001-US8935	W	20010320

OS MARPAT 135:272990

GI



I

AB Title compds. [I; Q = (substituted) (fused) piperazinyl, morpholinyl, thiomorpholinyl; R1 = H, alkyl, (substituted) cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), etc.; X = (substituted) alkyl, cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), heterocyclyl(alkyl), cyano(alkyl), aminosulfonyl(alkyl), etc.; Y = H, alkyl, cycloalkyl(alkyl), (substituted) aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl)], were prepd. as melanocortin-4 receptor (MC-4R) agonists. Thus, capsule formulations contg. title compd. (II) were prepd. Representative I activated MC-4R with IC50<1 .mu.M. I are claimed for the treatment of obesity, diabetes, and sexual dysfunction including erectile dysfunction and female sexual dysfunction.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2001:567762 CAPLUS
DN 135:288736
TI Novel azo derivatives as prodrugs of 5-aminosalicylic acid and amino derivatives with potent platelet activating factor antagonist activity
AU Carceller, Elena; Salas, Jordi; Merlos, Manuel; Giral, Marta; Ferrando, Rosa; Escamilla, Ignasi; Ramis, Joaquin; Garcia-Rafanell, Julian; Forn, Javier
CS Research Center, J. Uriach & Cia.S.A., Barcelona, 08026, Spain
SO Journal of Medicinal Chemistry (2001), 44(18), 3001-3013
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 135:288736
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This paper describes the synthesis of a series of azo compds. able to deliver 5-aminosalicylic acid (5-ASA) and a potent platelet activating factor (PAF) antagonist in a colon-specific manner for the purpose of treating ulcerative colitis. The authors found it possible to add an amino group on the arom. moiety of 1-[(1-acyl-4-piperidyl)methyl]-1H-2-methylimidazo[4,5-c]pyridine derivs. or on British Biotech compds. BB-882 and BB-823 maintaining a high level of activity as PAF antagonist. A selected compd. UR-12715, (piperidinylmethyl)imidazopyridine I, showed an IC50 of 8 nM in the in vitro PAF-induced aggregation assay, and an ID50 of 29 .mu.g/kg in the in vivo PAF-induced hypotension test in normotensive rats. Through attachment of I to the 5-ASA via azo functionality we obtained UR-12746, (imidazopyridinylmethyl)piperidinyl benzoic acid deriv. II. Pharmacokinetics expts. with [14C]-70 allow the authors to reach the following conclusions, crit. in the design of these new prodrugs of 5-ASA. Neither the whole mol. II nor the carrier I were absorbed after oral administration of [14C]-II in rat as was demonstrated by the absence of plasma levels of radioactivity and the high recovery of it in feces. Effective cleavage of azo bond (84%) by microflora in the colon is achieved. These facts ensure high topical concns. of 5-ASA and I in the colon. Addnl., II exhibited a potent anticolitic effect in the trinitrobenzenesulfonic acid-induced colitis model in the rat. This profile suggests that UR-12746, II, provides an attractive new approach to the treatment of ulcerative colitis.

RE.CNT 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 10 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2000:900614 CAPLUS
DN 134:56958
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
	WO 2000076971	A3	20010802		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 2000054140	A5	20010102	AU 2000-54140	20000613
	EP 1192132	A2	20020403	EP 2000-938916	20000613
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003502314	T2	20030121	JP 2001-503831	20000613
	WO 2001096296	A1	20011220	WO 2001-GB2541	20010612
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096303 A1 20011220 WO 2001-GB2551 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096323 A1 20011220 WO 2001-GB2553 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,.
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2001096304 A1 20011220 WO 2001-GB2572 20010612
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1289972 A1 20030312 EP 2001-936686 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1289950 A1 20030312 EP 2001-938386 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1289953 A1 20030312 EP 2001-938403 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EP 1289954 A1 20030312 EP 2001-940716 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2002151724 A1 20021017 US 2002-30186 20020204

NO 2002005665 A 20021125 NO 2002-5665 20021125

PRAI GB 1999-13823 A 19990614

US 1999-142064P P 19990702

GB 1999-18741 A 19990809

GB 1999-29553 A 19991214

WO 2000-GB2302 A 20000613

GB 2000-30303 A 20001213

GB 2000-30304 A 20001213

GB 2000-30305 A 20001213

GB 2000-30306 A 20001213

WO 2001-GB2541 W 20010612

WO 2001-GB2551 W 20010612

WO 2001-GB2553 W 20010612

WO 2001-GB2572 W 20010612
OS MARPAT 134:56958
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

L11 ANSWER 11 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2000:900613 CAPLUS
DN 134:56957
TI Preparation of amino acid derivatives as serine protease inhibitors
IN Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John
PA Eli Lilly and Company, USA; Protherics Molecular Design Limited
SO PCT Int. Appl., 350 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 13

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
	WO 2000076970	A3	20010719		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1192135	A2	20020403	EP 2000-938912	20000613
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

PRAI GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29552 A 19991214
GB 1999-29553 A 19991214
WO 2000-GB2296 W 20000613
OS MARPAT 134:56957
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at

these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

L11 ANSWER 12 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 2000:880962 CAPLUS
DN 134:42445
TI Preparation of piperidine amino acid derivatives as melanocortin-4 receptor agonists
IN Bakshi, Raman K.; Barakat, Khaled J.; Nargund, Ravi P.; Palucki, Brenda L.; Patchett, Arthur A.; Sebhat, Iyassu; Ye, Zhixiong; Van, Der Ploeg Leonardus H. T.
PA Merck & Co., Inc., USA; Van Der Ploeg, Leonardus H. T.
SO PCT Int. Appl., 124 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000074679	A1	20001214	WO 2000-US14930	20000531
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1187614	A1	20020320	EP 2000-937961	20000531
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2003505435	T2	20030212	JP 2001-512328	20000531
	US 6350760	B1	20020226	US 2000-585111	20000601
	US 2002137664	A1	20020926	US 2001-990499	20011121
PRAI	US 1999-137477P	P	19990604		
	US 1999-169209P	P	19991202		
	WO 2000-US14930	W	20000531		
	US 2000-585111	A3	20000601		

OS MARPAT 134:42445
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Piperidine derivs. I [R2C2 = aryl, 5- or 6-membered heteroaryl or heterocyclyl, 5- to 7-membered carbocyclyl, which may be substituted; L = (CRb2)m, where Rb = H, alkyl, (CH2)n-cycloalkyl or -aryl; m = 0-2, n =

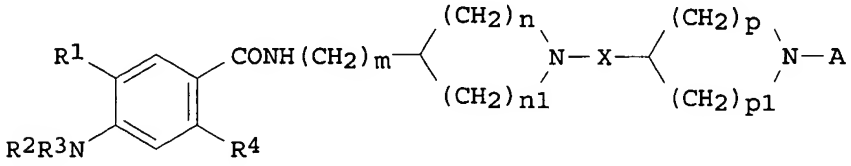
0-3; X, Y = (CH2)0-2; Ra = H, alkyl, (CHRb)n-cycloalkyl, -aryl, -heteroaryl, -O(CHRb)naryl, which may be substituted; Re = H, alkyl, (CH2)n-aryl, -cycloalkyl, -heteroaryl, which may be substituted, acyl, sulfonyl, etc.; R1 = H, alkyl, (CH2)n-cycloalkyl, -aryl, -heteroaryl, -heterocyclyl; R2 = any group given for R1, CN, (CH2)n-carboxamido, -carboxy, -acylamino, sulfonylamino, -amino, etc.] were prepd. as agonists of the human melanocortin receptors, in particular, the human melanocortin-4 receptor (MC-4R). They are therefore useful for the treatment, control, or prevention of diseases and disorders responsive to the activation of MC-4R, such as obesity, diabetes, sexual dysfunction, including erectile dysfunction and female sexual dysfunction. Thus, II trifluoroacetate, prepd. by coupling of Et 1-(D-4-chlorophenylalanyl)-4-cyclohexyl-4-[(1,2,4-triazol-1-yl)methyl]piperidine trifluoroacetate (prepn. given) with N-tert-butoxycarbonyl-1,2,3,4-tetrahydroisoquinoline-3-carboxylic acid (Boc-D-Tic), was > 2,200-fold, > 10,000-fold, and > 580-fold selective for the human MC-4R over human MC-1R, MC-2R, and MC-3R, resp.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

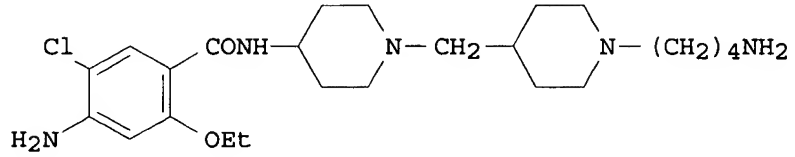
L11 ANSWER 13 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1999:34578 CAPLUS
DN 130:139257
TI Preparation of 4-amino-5-halo-2-alkoxy-N-(4-piperidinylalkyl or 4-piperidinylcarbonyl)benzamides for improving digestive tract function
IN Kato, Shiro; Harada, Hiroshi; Toyotomi, Yoshihito; Yoshida, Naoyuki; Morikage, Yukiko
PA Dainippon Pharmaceutical Co., Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 29 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 11001472	A2	19990106	JP 1997-121609	19970423
PRAI JP 1996-134388		19960430		
JP 1997-114430		19970415		

OS MARPAT 130:139257
GI



I



II

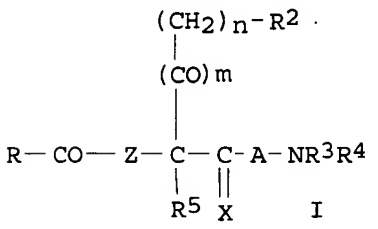
AB The title compds. [I; R1 = halo; R2 = H, lower alkyl; R3 = H, lower alkyl or alkanoyl; R4 = lower alkoxy; n = 1,2; n1 = 2,3; p = 1,2; p1 = 2,3; m =

0,1,2; X = (CH2)r, CO(CH2)s; wherein r = 1,2; s = 0,1; A = (CH2)tCR5aR5b(CH2)qNR6R7, CO(CH2)uCR5aR5b(CH2)qNR6R7; wherein t = 1,2,3; q = 0,1,2,3; u = 0,1,2; R5a = H, lower alkyl, HO, lower hydroxyalkyl, lower alkoxy, lower alkoxy-lower alkyl, (un)substituted NH2, etc.; R5b = H, lower alkyl; R6 = H, lower alkyl, lower alkylsulfonyl; R7 = H, lower alkyl; or R5a and R6 are joined together to form pyrrolidine, piperidine, hexahydroazepine, or morpholine ring; or R6 and R7 are joined together to form pyrrolidine, piperidine, hexahydroazepine, or optionally N-lower alkyl-substituted piperazine] are prepd. Also claimed is an improver for digestive tract function contg. above compds. I. These compds. show potent affinity to and potent agonist activity on serotonin 4 (5-HT4) receptor and are useful for the treatment and prevention of digestive tract function disorders accompanied by various diseases or therapies. Thus, 4-amino-5-chloro-2-ethoxybenzoic acid was condensed with 4-amino-1-[1-(4-phthalimidobutyl)-4-piperidinylmethyl]piperidine using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and Et3N in CH2Cl2 at room temp. for 3 h, followed by treatment with hydrazine in ethanol under reflux and salt formation with fumaric acid, to give the title compd. (II fumarate). II fumarate showed IC50 of 1.0 nM for inhibiting the binding of [3H]-GR113808 to 5-HT4 receptor prepn. from Std-Hartley guinea pig's brain. Tablet, dispersant, and injection formulations contg. I were given.

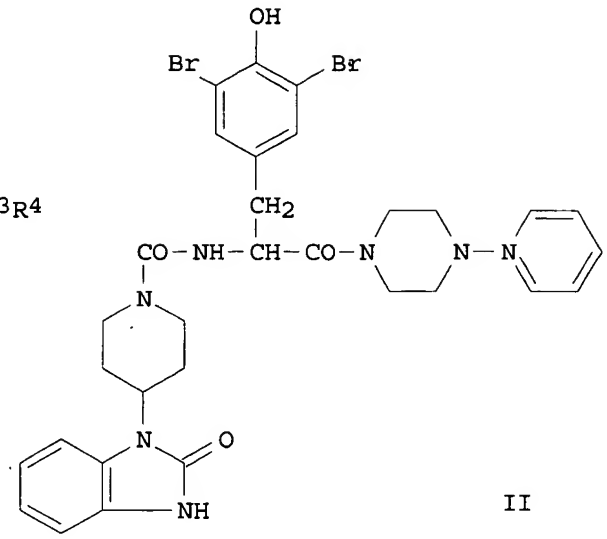
L11 ANSWER 14 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1998:197358 CAPLUS
DN 128:257695
TI Preparation of modified amino acids and their use as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany; Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
SO PCT Int. Appl., 461 pp.
CODEN: PIXXD2
DT Patent
LA German
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9811128	A1	19980319	WO 1997-EP4862	19970908
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	DE 19636623	A1	19980312	DE 1996-19636623	19960910
	DE 19720011	A1	19981119	DE 1997-19720011	19970514
	AU 9741196	A1	19980402	AU 1997-41196	19970908
	AU 721035	B2	20000622		
	EP 927192	A1	19990707	EP 1997-938928	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9712023	A	19990831	BR 1997-12023	19970908
	JP 2000505100	T2	20000425	JP 1998-513227	19970908
	NO 9901130	A	19990505	NO 1999-1130	19990309
	KR 2000044040	A	20000715	KR 1999-702008	19990310
	US 6344449	B1	20020205	US 1999-254281	19991012
	US 2001036946	A1	20011101	US 2001-789391	20010221
	US 2003069231	A1	20030410	US 2002-119875	20020410

PRAI DE 1996-19636623 A 19960910
DE 1997-19720011 A 19970514
WO 1997-EP4862 W 19970908
US 1999-254281 A1 19991012
US 2001-789391 A1 20010221
OS MARPAT 128:257695
GI



I



II

AB The invention concerns modified amino acids of general formula I [A = bond, CX; Z = CH2, NR1; R1 = H, alkyl, phenyl-alkyl; X = O, H,H; n = 1-2; m = 0-1; R = (substituted)alkyl; R2 = Ph, (substituted)(hetero)(bi)cycle; R3 = H, (substituted)alkyl, Ph, pyridinyl; R4 = H, (substituted)alkyl; R3R4= (hetero)cycle; R5 = H, alkyl, alkoxycarbonyl, PhCH2], pharmaceuticals contg. these compds., their use and the method for their prodn., as well as their use for the prodn. and purifn. of antibodies and as marked compds. in RIA and ELISA assays and as diagnostic or analytic auxiliary agents in neurotransmitter research. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II(22%). Title compds. show human calcitonin gene related peptide (CGRP) antagonist activity; in in-vitro binding studies with Sk-N-MC-cells, I had IC50 .ltoreq.10000 nM, and in the same system, had CGRP-antagonist activity at doses from 10-11 to 10-6 M.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

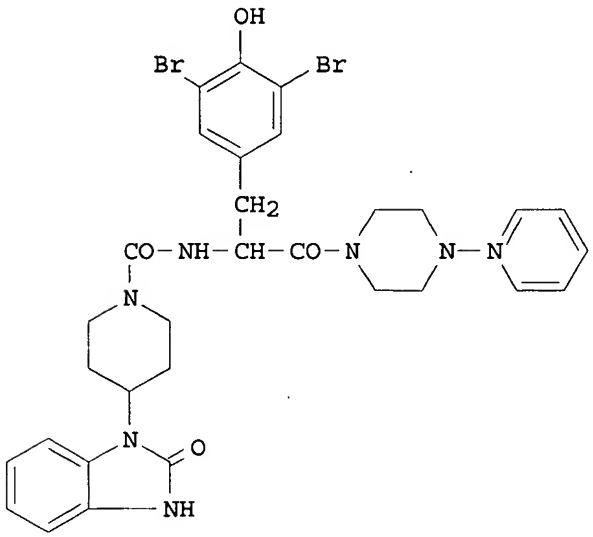
L11 ANSWER 15 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1998:186625 CAPLUS
DN 128:230701
TI Preparation of varied amino acids as calcitonin gene-related peptide antagonists in pharmaceutical compositions
IN Rudolf, Klaus; Eberlein, Wolfgang; Engel, Wolfhard; Pieper, Helmut; Doods, Henri; Hallermayer, Gerhard; Entzeroth, Michael; Wienen, Wolfgang
PA Karl Thomae G.m.b.H., Germany
SO Ger. Offen., 142 pp.
CODEN: GWXXBX
DT Patent
LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19636623	A1	19980312	DE 1996-19636623	19960910
	WO 9811128	A1	19980319	WO 1997-EP4862	19970908
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9741196	A1	19980402	AU 1997-41196	19970908
	AU 721035	B2	20000622		
	EP 927192	A1	19990707	EP 1997-938928	19970908
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9712023	A	19990831	BR 1997-12023	19970908
	CN 1230196	A	19990929	CN 1997-197772	19970908
	JP 2000505100	T2	20000425	JP 1998-513227	19970908
	ZA 9708083	A	19991217	ZA 1997-8083	19970909
	TW 477792	B	20020301	TW 1997-86113120	19970910
	NO 9901130	A	19990505	NO 1999-1130	19990309
	US 6344449	B1	20020205	US 1999-254281	19991012
PRAI	DE 1996-19636623	A	19960910		
	DE 1997-19720011	A	19970514		
	WO 1997-EP4862	W	19970908		

OS MARPAT 128:230701

GI



II

AB Title compds. RCOZCR1R2C(:X)ANR3R4 [(I); R = (substituted) alkyl; R1 = H, alkyl, PhCH2; R2 = (CO)m(CH2)nR5; m = 0, 1; n = 1, 2; R5 = Ph, heterocycle; X = O, (H,H); Z = CH2, NR6; R6 = H, alkyl, phenyl-alkyl; A = bond, proline; R3 = H, substituted alkyl, Ph, pyridinyl; R4 = H, substituted alkyl; NR3R4 = (substituted) heterocycle], useful as calcitonin gene-related peptide (CGRP) antagonists, were prepd. Thus, 3,5-dibromo-N2-[4-(1,3-dihydro-2(2H)-oxo-benzimidazol-1-yl)-1-

piperidinyl]carbonyl-D-tyrosine was reacted with 1-(4-pyridinyl)-piperazine, to give II (22%). In in-vitro binding studies with human CGRP-receptors, I had IC50 .ltoreq.10000 nM; in CGRP-antagonist in vitro tests, I was effective at doses from 10-11 to 10-5 M.

L11 ANSWER 16 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1997:299333 CAPLUS
DN 126:277481
TI Preparation of imidazo[4,5-c]pyridine-containing azo derivatives of 5-aminosalicylic acid containing for treatment of inflammatory bowel disease
IN Carceller, Elena; Jimenez, Pere J.; Salas, Jordi; Almansa, Carmen; Bartroli, Javier; Merlos, Manel; Giral, Marta; Balsa, Dolors; Ferrando, Rosa; Garcia-Rafanell, Julian; Forn, Javier
PA J. Uriach & Cia. S.A., Spain; Carceller, Elena; Jimenez, Pere J.; Salas, Jordi; Almansa, Carmen; Bartroli, Javier; Merlos, Manel; Giral, Marta; Balsa, Dolors; et al.
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9709329	A1	19970313	WO 1996-EP3921	19960906
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI				
	ES 2106682	A1	19971101	ES 1995-1752	19950908
	ES 2106682	B1	19980701		
	ES 2104513	A1	19971001	ES 1995-1967	19951011
	ES 2104513	B1	19980701		
	CA 2204747	AA	19970313	CA 1996-2204747	19960906
	AU 9669875	A1	19970327	AU 1996-69875	19960906
	EP 790998	A1	19970827	EP 1996-931039	19960906
	EP 790998	B1	20010117		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	BR 9606628	A	19970930	BR 1996-6628	19960906
	JP 11501939	T2	19990216	JP 1996-510875	19960906
	AT 198751	E	20010215	AT 1996-931039	19960906
	ES 2155621	T3	20010516	ES 1996-931039	19960906
	NO 9702113	A	19970507	NO 1997-2113	19970507
	US 5747477	A	19980505	US 1997-836125	19970508
PRAI	ES 1995-1752	A	19950908		
	ES 1995-1967	A	19951011		
	WO 1996-EP3921	W	19960906		

OS CASREACT 126:277481; MARPAT 126:277481
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

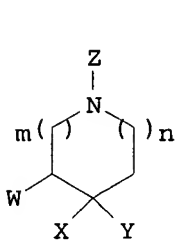
AB The title compds. [I; 4-hydroxy-3-carboxyphenylazo moiety can be at the 3- or 4-position of the benzene ring; m = 1-2; R1 = C1-4 alkyl, C3-7 cycloalkyl; a, b, c = CH, CC1-4 alkyl; X = II, III, etc.], useful for the treatment or prevention of inflammatory bowel disease, were prepd. by

converting an amine IV into the corresponding diazonium salt, and the reacting the resulting intermediate with salicylic acid. Results of studies showed, e.g., that the administration of compds. I significantly reduces TNBS-induced colonic damage in comparison with the control group ($p < 0.05$). Amines IV were also tested as inhibitors of platelet aggregation induced by PAF and of PAF-induced hypotension in normotensive rats, and, e.g., amine V showed IC50 of 0.019 .mu.M against platelet aggregation induced by PAF.

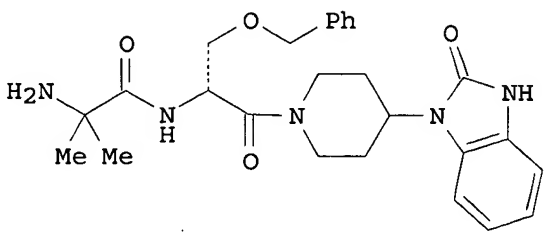
L11 ANSWER 17 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1997:94071 CAPLUS
DN 126:104431
TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
IN Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan, John A.
PA Pfizer Inc., USA; Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan, John A.
SO PCT Int. Appl., 173 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9638471	A1	19961205	WO 1995-IB410	19950529
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2220055	AA	19961205	CA 1995-2220055	19950529
	CA 2220055	C	20010424		
	EP 828754	A1	19980318	EP 1995-918123	19950529
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE				
	JP 10510511	T2	19981013	JP 1995-511175	19950529
	JP 3133073	B2	20010205	JP 1996-511175	19950529
	NO 9602162	A	19961202	NO 1996-2162	19960528
	AU 9654554	A1	19961212	AU 1996-54554	19960528
	CN 1143647	A	19970226	CN 1996-107637	19960528
	US 5936089	A	19990810	US 1997-973268	19971126
	FI 9704368	A	19971128	FI 1997-4368	19971128
PRAI	WO 1995-IB333	A	19950508		
	WO 1995-IB410	W	19950529		

OS MARPAT 126:104431
GI



I



II

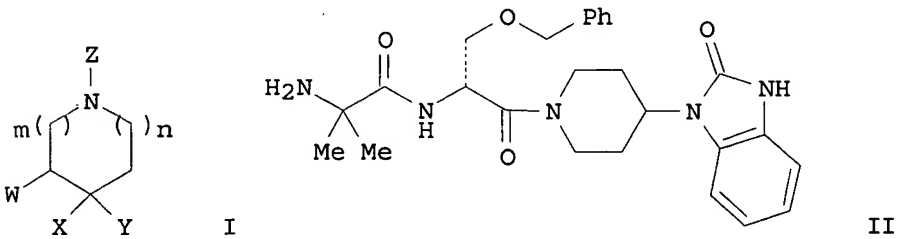
AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion substituted with 0-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C4-10 cycloalkyl, aryl-K, phenyl-(C1-6alkyl)-K, thienyl-(C1-6 alkyl)-K substituted with 0-3 R3a, R3b, or R12; K = bond, O, S(O)m, NR2a; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, (un)substituted carbo- or heterobicyclic ring; R1 = (un)substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c = (un)substituted C3-8 ring; R2 = H, C1-6 alkyl,

C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle contg. 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, (un)substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = (un)substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, (un)substituted C1-6 alkyl; R8 = H, (un)substituted C1-6 alkyl; R9 = H, (un)substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis (no data). Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

L11 ANSWER 18 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1997:26293 CAPLUS
DN 126:60362
TI Preparation of heterocyclic dipeptide derivatives which promote release of growth hormone
IN Carpino, Philip A.; Jardine, Paul A. Dasilva; Lefker, Bruce A.; Ragan, John A.
PA Pfizer, Inc., USA; Carpino, Philip A.; Jardine, Paul, A. Dasilva; Lefker, Bruce A.; Ragan, John A.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9635713	A1	19961114	WO 1995-IB333	19950508
	W: CA, FI, JP, MX, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9654554	A1	19961212	AU 1996-54554	19960528
PRAI	WO 1995-IB333	A	19950508		
	WO 1995-IB410	A	19950529		

OS MARPAT 126:60362
GI



I II

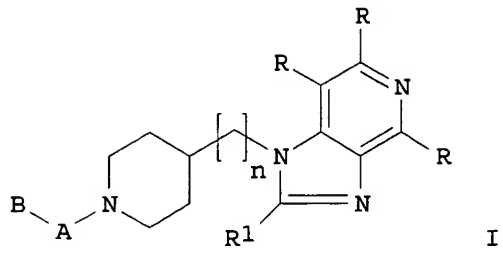
AB Title compds. I [Z = COCR1R2cLCOANR4R5; L = NR6, O, CH2; W = H; W and X = benzo fusion optionally substituted with 1-3 R3a, TR3b, or R12; Y = H, C1-6 alkyl, C3-10 cycloalkyl, aryl optionally substituted with 1-3 R3a, R3b, or R12; X = OR2, R50MN(Aryl), R8R9NCO, R2bO2C, optionally substituted carbobicyclic or heterobicyclic ring; R1 = optionally substituted C1-10 alkyl, aryl, etc.; R2c = H, C1-6 alkyl, C3-7 cycloalkyl; CR1R3c =

optionally substituted C3-8 ring; R2 = H, C1-6 alkyl, C3-7 cycloalkyl; R2a = H, C1-6 alkyl; R2b = H, C1-8 alkyl, C1-8 halogenated alkyl, C3-8 cycloalkyl, alkylaryl, aryl; R3a, R12 = independently H, halo, Me, OMe, CF3; T = bond, phenylene, 5- or 6-membered heterocycle contg. 1-3 hetero atoms; R3b = H, CONR8R9, SO2R8R9, CO2H, CO2(C1-6 alkyl), NR2SO2R9, NR2CONR8R9, NR2SO2NR8R9, NR2COR9, imidazolyl, thiazolyl, tetrazolyl; R4, R5 = independently H, optionally substituted C1-6 alkyl; R6 = H, C1-6 alkyl; R6CR2c = C3-8 ring; R50 = optionally substituted morpholino, piperazino, C3-7 cycloalkyl, C1-6 alkyl; M = CO, SO2; A = bond, Z1(CH2)xCR7R7a(CH2)y; Z1 = NR2, O, bond; R7, R7a = independently H, CF3, Ph, optionally substituted C1-6 alkyl; R8 = H, optionally substituted C1-6 alkyl; R9 = H, optionally substituted C1-6 alkyl, Ph, thiazolyl, imidazolyl, furyl, thienyl], are growth hormone releasing peptide mimics. Heterocyclic dipeptide derivs. I are useful for the treatment and prevention of osteoporosis. Thus, condensation of Boc-D-Ser(CH2Ph)-OH (Boc = Me3CO2C) with 4-(2-oxo-1-benzimidazolinyl)piperidine, followed by deprotection, coupling with BocNHCMe2CO2H, and deprotection with HCl gave dipeptide amide salt II.

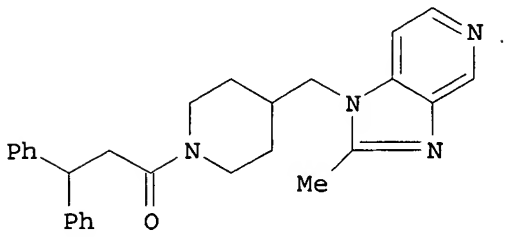
L11 ANSWER 19 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1996:462315 CAPLUS
DN 125:114623
TI Novel piperidine-imidazopyridine derivatives with PAF antagonist activity
IN Carceller, Elena; Jimenez, Pere J.; Recasens, Nuria; Salas, Jordi; Almansa, Carmen; Bartroli, Javier
PA J Uriach y Cia. S.A., Spain
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9614317	A1	19960517	WO 1995-EP3487	19950905
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	ES 2087038	A1	19960701	ES 1994-2291	19941107
	ES 2087038	B1	19970316		
	CA 2180660	AA	19960517	CA 1995-2180660	19950905
	AU 9535636	A1	19960531	AU 1995-35636	19950905
	EP 738269	A1	19961023	EP 1995-932668	19950905
	EP 738269	B1	20000426		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 09507862	T2	19970812	JP 1995-514972	19950905
	AT 192152	E	20000515	AT 1995-932668	19950905
	ES 2147616	T3	20000916	ES 1995-932668	19950905
	NO 9602855	A	19960705	NO 1996-2855	19960705
	US 5705504	A	19980106	US 1996-669440	19961022
PRAI	ES 1994-2291	A	19941107		
	WO 1995-EP3487	W	19950905		

OS MARPAT 125:114623
GI



I



II

AB Title compds. I [m = 0-2; R = (independently) H, alkyl; R1 = alkyl, cycloalkyl; A = CO, SO2, NHCO, OCO; B = various functionalized or unsatd. sidechains] and their salts and solvates are platelet activating factor (PAF) antagonists, useful in the treatment of various diseases or disorders mediated by PAF. Pharmaceutical compns. including the compds., and processes for their prepn., are also provided. Examples include 76 prepns. of I, 28 precursor prepns., 6 formulations, and 2 pharmacol. tests. For instance, 4-(aminomethyl)piperidine was converted to the 1-BOC deriv., condensed with 4-chloro-3-nitropyridine (64%), hydrogenated to an amino compd. (96%), cyclized with MeC(:NH)OEt.HCl to an imidazopyridine (95%), and deprotected (98%), to give 1-[(4-piperidyl)methyl]-1H-2-methylimidazo[4,5-c]pyridine. Amidation of this with Ph2CHCH2CO2H using DCC and HOBt in DMF gave 63% title compd. II. In a test for inhibition of PAF-induced aggregation of rabbit platelets in vitro, II had IC50 of 0.0076 .mu.M. It also inhibited PAF-induced hypertension in rats with ID50 of 0.0086 mg/kg.

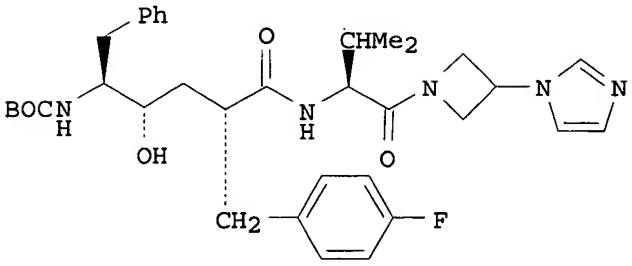
L11 ANSWER 20 OF 20 CAPLUS COPYRIGHT 2003 ACS
AN 1994:656333 CAPLUS
DN 121:256333
TI Preparation of antiviral peptide analogs
IN Greengrass, Colin William; Street, Stephen Derek Albert; Whittle, Peter John
PA Pfizer Ltd., UK; Pfizer Inc.
SO PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9319059	A1	19930930	WO 1993-EP597	19930313
	W: AU, BG, BR, CA, CZ, FI, HU, JP, KR, NO, NZ, PL, RO, RU, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9337483	A1	19931021	AU 1993-37483	19930313
	EP 632808	A1	19950111	EP 1993-906535	19930313
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 07501556	T2	19950216	JP 1993-516236	19930313
	BR 9306138	A	19980623	BR 1993-6138	19930313
	CN 1077716	A	19931027	CN 1993-103206	19930323
	ZA 9302079	A	19940926	ZA 1993-2079	19930324
	FI 9404428	A	19940923	FI 1994-4428	19940923

	NO 9403540	A	19941121	NO 1994-3540	19940923
PRAI	GB 1992-6462		19920325		
	GB 1993-1638		19930127		
	WO 1993-EP597		19930313		

OS MARPAT 121:256333

GI



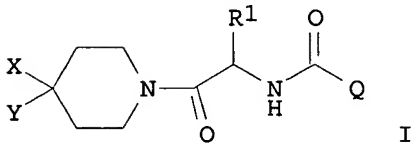
I

AB R1(CR5CR6)nO2CNHCHR2CH(OH)CH2CHR3CONHCHR4COX(CR7CR8)mX [R1 = alkyl, cycloalkyl, aryl, heterocyclyl, carbamoyl; R2 = alkyl, cycloalkylalkyl, arylalkyl, heterocyclylalkyl; R3 = alkyl, cycloalkyl, cycloalkylalkyl, arylalkyl, arylalkenyl, heterocyclylalkyl, heterocyclylalkenyl; R4 = alkyl, cycloalkyl, aryl, heterocyclyl; R5-R8 = H, alkyl, cycloalkyl; R5R6, R7R8 = atoms to form 3-8 membered carbocyclic rings; X = (substituted) mono- or bicyclic heterocyclyl; N, m = 0-2; alkyl or cycloalkyl groups may be partially or fully fluorinated], were prepd. Thus, title compd. I was prepd. by soln. phase methods. Title compds. showed IC100 = 0.1-10 .mu.g/mL againsts HIV-1 in C8166 cells.

AN 2001:713326 CAPLUS
DN 135:272990
TI Preparation of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists
IN Palucki, Brenda L.; Barakat, Khaled J.; Guo, Liangqin; Lai, Yingjie; Nargund, Ravi P.; Park, Min K.; Pollard, Patrick G.; Sebhat, Iyassu K.; Ye, Zhixiong
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 220 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001070708	A1	20010927	WO 2001-US8935	20010320
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002019523	A1	20020214	US 2001-812965	20010320
	US 6458790	B2	20021001		
	EP 1268449	A1	20030102	EP 2001-922501	20010320
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-191442P	P	20000323		
	US 2000-242265P	P	20001020		
	WO 2001-US8935	W	20010320		

OS MARPAT 135:272990
GI



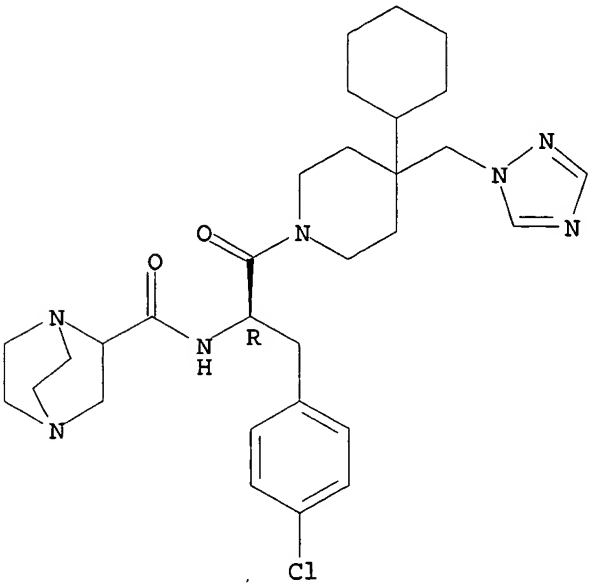
I

AB Title compds. [I; Q = (substituted) (fused) piperazinyl, morpholinyl, thiomorpholinyl; R1 = H, alkyl, (substituted) cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), etc.; X = (substituted) alkyl, cycloalkyl(alkyl), aryl(alkyl), heteroaryl(alkyl), heterocyclyl(alkyl), cyano(alkyl), aminosulfonyl(alkyl), etc.; Y = H, alkyl, cycloalkyl(alkyl), (substituted) aryl(alkyl), heterocyclyl(alkyl), heteroaryl(alkyl)], were prepd. as melanocortin-4 receptor (MC-4R) agonists. Thus, capsule formulations contg. title compd. (II) were prepd. Representative I activated MC-4R with IC50<1 .mu.M. I are claimed for the treatment of obesity, diabetes, and sexual dysfunction including erectile dysfunction and female sexual dysfunction.

IT **363188-99-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperazinylcarbonylaminomethylcarbonylpiperidines as melanocortin-4 receptor agonists)

RN 363188-99-2 CAPLUS
CN 1,4-Diazabicyclo[2.2.2]octane-2-carboxamide, N-[(1R)-1-[(4-chlorophenyl)methyl]-2-[4-cyclohexyl-4-(1H-1,2,4-triazol-1-ylmethyl)-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT